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(54) **Novel substituted guanidine derivatives, their preparation and use**

Substituierte Guanidinderivate, ihre Herstellung und Anwendung

Guanidines substituées, leur préparation et leur utilisation

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(73) Proprietor: **Takeda Chemical Industries, Ltd.**
Chuo-ku, Osaka (JP)

(72) Inventors:
• **Minamida, Isao**
Tsukuba, Ibaraki 305 (JP)

- **Kando, Yasuyuki**
Tsukuba, Ibaraki 305 (JP)
- **Ishizuka, Hitoshi**
Tsukuba, Ibaraki 305 (JP)
- **Okauchi, Tetsuo**
Hirakata, Osaka 573 (JP)
- **Uneme, Hideki**
Tsukuba, Ibaraki 305 (JP)

(74) Representative:
von Kreisler, Alek, Dipl.-Chem. et al
Patentanwälte
von Kreisler-Selting-Werner
Postfach 10 22 41
50462 Köln (DE)

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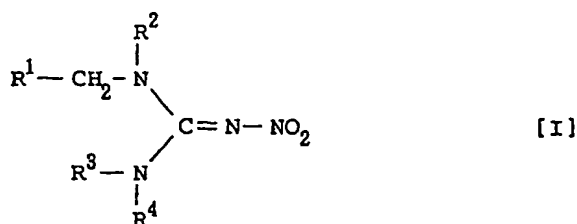
or



wherein each group has the same meaning as defined above.

Claims for the following Contracting State : ES

1. A process for preparing a substituted nitroguanidine compound of the formula [I]:



wherein R¹ is a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different, and are selected from C₁₋₁₅ alkyl group, C₃₋₁₀ cycloalkyl group, C₂₋₁₀ alkenyl group, C₂₋₁₀ alkynyl group, C₃₋₁₀ cycloalkenyl group, C₆₋₁₀ aryl group, C₇₋₁₀ aralkyl group, phenethyl group, nitro, hydroxy, mercapto, oxo, thio, cyano, carbamoyl, carboxyl, C₁₋₄ alkoxy, C₁₋₄ alkoxy, C₆₋₁₀ aryloxy group, C₁₋₄ alkylthio group, C₆₋₁₀ arylthio group, C₁₋₄ alkylsulfinyl group, C₆₋₁₀ arylsulfinyl group, C₁₋₄ alkylsulfonyl group, C₆₋₁₀ arylsulfonyl group, amino, C₂₋₆ acylamino group, mono- or di-C₁₋₄ alkylamino group, C₃₋₆ cycloalkylamino group, C₆₋₁₀ arylamino group, C₂₋₄ acyl group, C₆₋₁₀ arylcarbonyl group and five- to six-membered heterocyclic group each containing 1 to 4 heteroatoms selected from oxygen, sulfur and nitrogen, and the above C₃₋₁₀ cycloalkyl, C₃₋₁₀ cycloalkenyl, C₆₋₁₀ aryl, C₇₋₁₀ aralkyl, C₆₋₁₀ aryloxy, C₆₋₁₀ arylthio, C₆₋₁₀ arylsulfinyl, C₆₋₁₀ arylsulfonyl, C₆₋₁₀ arylamino or heterocyclic group may be substituted with 1 to 5 substituent groups which may be the same or different selected from halogen, hydroxyl, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₆₋₁₀ aryl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and phenylthio, and the above C₁₋₁₅ alkyl group, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, amino, mono- or di-C₁₋₄ alkylamino or C₃₋₆ cycloalkylamino may be substituted with 1 to 5 substituent groups which may be the same or different selected from halogen, hydroxyl, C₁₋₄ alkoxy and C₁₋₄ alkylthio,

R² is cyano,

a group of the formula: -S(O)_n-R¹³

wherein n is an integer of 1 or 2 and R¹³ is a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents defined as above for R¹; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹,

a group of the formula: -P(=O)R¹⁴R¹⁵

wherein R¹⁴ and R¹⁵ are each independently hydroxyl; a hydrocarbon group attached through an oxygen atom selected from C₁-C₁₅ alkoxy, C₃-C₁₀ cycloalkoxy, C₂-C₁₀ alkenyloxy, C₂-C₁₀ alkynyloxy, C₃-

C₁₀ cycloalkenyloxy, C₆-C₁₀ aryloxy or C₇-C₁₀ aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents and are defined as above for R¹; a heterocyclyloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹; a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents and are ; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹;

a group of the formula: -CO-OR⁶

wherein R⁶ is a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents defined as above for R¹; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹, or

a group of the formula: -CO-NR⁷R⁸

wherein R⁷ and R⁸, which are the same or different, are each independently hydrogen; a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents defined as above for R¹; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹; or R⁷ and R⁸, taken together with the nitrogen atom to which they are attached are a cyclic amino group, which may be substituted with 1 to 4 C₁₋₄ alkyl groups;

R³ is hydrogen,

cyano,

a hydrocarbon group selected from C₁-C₁₅ alkyl, C₂-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₃-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents defined as above for R¹ (except for one substituted with an oxo group at the binding site),

a group of the formula: -S(O)_n-R¹³

wherein n is an integer of 0, 1 or 2 and R¹³ is a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹;

a group of the formula: -P(=O)R¹⁴R¹⁵

wherein R¹⁴ and R¹⁵ are each independently hydroxyl; a hydrocarbon group attached through an oxygen atom, selected from C₁-C₁₅ alkoxy, C₃-C₁₀ cycloalkoxy, C₂-C₁₀ alkenyloxy, C₂-C₁₀ alkynyloxy, C₃-C₁₀ cycloalkenyloxy, C₆-C₁₀ aryloxy or C₇-C₁₀ aralkyloxy, this hydrocarbon group optionally having 1 to 5 substituents defined as above for R¹; a heterocyclyloxy group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹; a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents defined as above for R¹; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹,

a group of the formula: -CO-R⁹

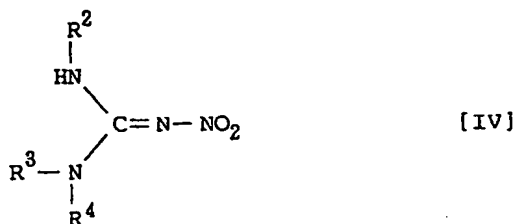
wherein R⁹ is hydrogen; a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally hav-

ing 1 to 5 substituents defined as above for R¹; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹,
 5 a group of the formula: -CO-OR¹⁰

wherein R¹⁰ is a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents defined as above for R¹; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹, or
 10 a group of the formula: -CO-NR¹¹R¹²

wherein R¹¹ and R¹², which are the same or different, are each independently hydrogen; a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cycloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents defined as above for R¹; or a heterocyclic group having a single or fused ring with 5 to 8 ring members in each ring and having from one to five heteroatoms in each ring independently selected from oxygen, nitrogen and sulfur, wherein said heterocyclic group may optionally be substituted with 1 to 5 substituents which may be the same or different and are defined as above for R¹; or R¹¹ and R¹², taken together with the nitrogen atom to which they are attached are a cyclic amino group, which may be substituted with 1 to 4 C₁₋₄ alkyl groups; and
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 20

R⁴ is hydrogen or a C₁-C₄ alkyl group; or a salt thereof, which comprises reacting a compound of the formula [IV]:
 25

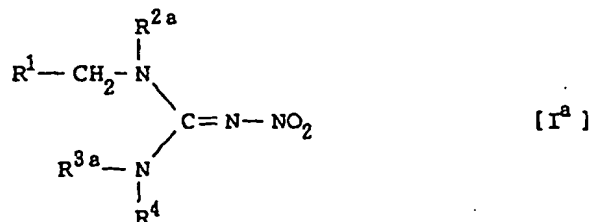


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [V]:
 30
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wherein R¹ has the same meaning as defined above and Y is a leaving group.

- 45 2. A process for preparing a substituted nitroguanidine compound of the formula [I^a]:



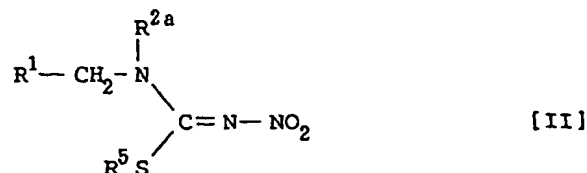
wherein

R¹ and R⁴ are as defined in claim 1

R^{2a} corresponds to R² as defined in claim 1,

R^{3a} is hydrogen or a hydrocarbon group selected from C₁₋₁₅ alkyl, C₃₋₁₀ cycloalkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₁₀ cycloalkenyl, C₆₋₁₀ aryl or C₇₋₁₀ aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for R¹, or a salt thereof,

which comprises reacting a compound of the formula [II]:

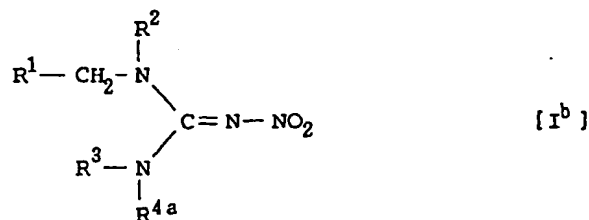


wherein R¹ and R^{2a} have the same meanings as defined above and R⁵ is a substituted or unsubstituted hydrocarbon group or a substituted or unsubstituted acyl group, or a salt thereof, with a compound of the formula [III]:



wherein R^{3a} and R⁴ have the same meanings as defined above, or a salt thereof.

3. A process for preparing a substituted nitroguanidine compound of the formula [I^b]:

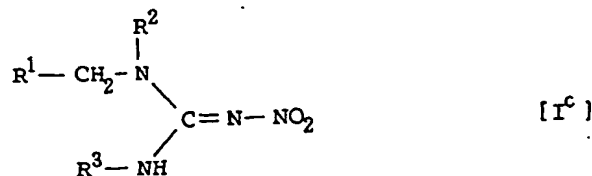


wherein

R¹, R² and R³ are as defined in claim 1,

R^{4a} is a C₁-C₄ alkyl group; or a salt thereof,

which comprises reacting a compound of the formula [I^c]:



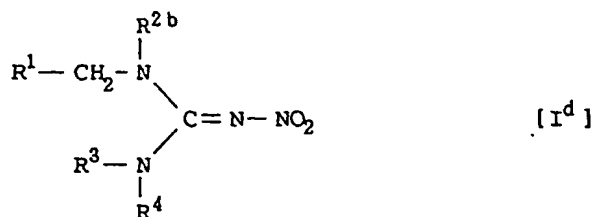
wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VI]:



[VI]

wherein each group has the same meaning as defined above.

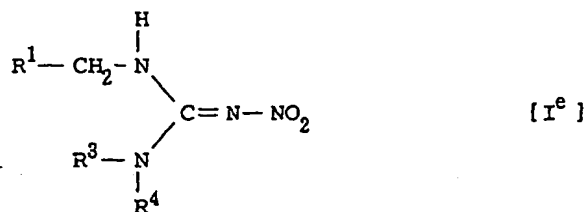
4. A process for preparing a substituted nitroguanidine compound of the formula [I^d]:



wherein

R¹, R³ and R⁴ are as defined in claim 1

R^{2b} corresponds to R² as defined in claim 1, or salt thereof, which comprises reacting a compound of the formula [I^e]:



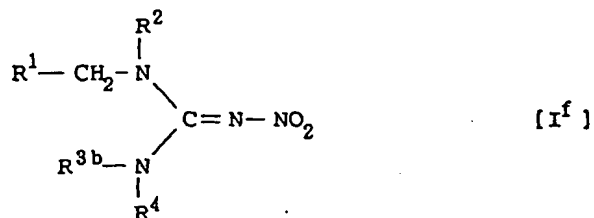
wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VII]:



[VII]

wherein each group has the same meaning as defined above.

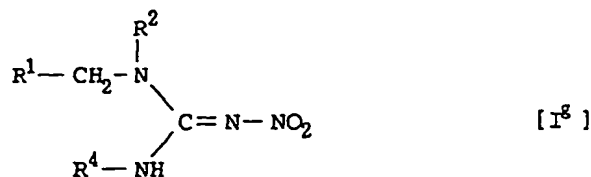
5. A process for preparing a substituted nitroguanidine compound of the formula [I^f]:



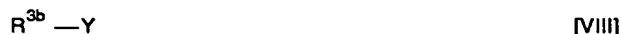
wherein R¹, R² and R⁴ have the same meanings as defined in claim 1 and R^{3b} is cyano, a hydrocarbon group selected from C₁-C₁₅ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₁₀ cy-

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cloalkenyl, C₆-C₁₀ aryl or C₇-C₁₀ aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for R¹ (except for one substituted with an oxo group at the binding site),
 a group of the formula: -S(O)_n-R¹³ as defined in claim 1, a group of the formula: -P(=O)R¹⁴R¹⁵ as defined in claim 1, a group of the formula: -CO-R⁹ as defined in claim 1, a group of the formula: -CO-OR¹⁰ as defined in claim 1,
 a group of the formula: -CO-NR¹¹R¹² as defined in claim 1 or a salt thereof,
 which comprises reacting a compound of the formula [I^g]:

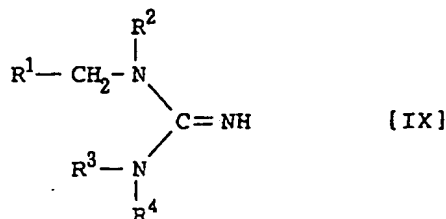


wherein each group has the same meaning as defined above, or a salt thereof, with a compound of the formula [VIII]:



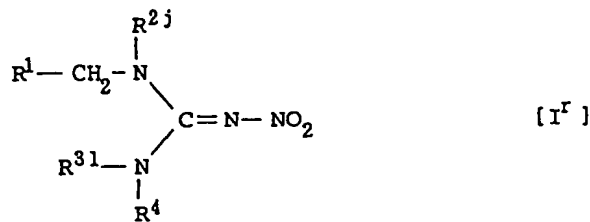
wherein each group has the same meaning as defined above.

6. A process for preparing a substituted nitroguanidine compound of the formula [I] according to Claim 1 or a salt thereof, which comprises reacting a compound of the formula [IX]:



wherein each group has the same meaning as defined above, or a salt thereof, with a nitrating reagent.

7. A process for preparing a substituted nitroguanidine compound of the formula [I^r]:

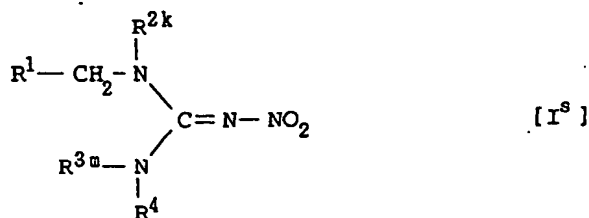


wherein

R¹ and R⁴ have the same meanings as defined in claim 1, and
 R^{2j} : corresponds to R² as defined in claim 1
 R^{3l} corresponds to R³ as defined in claim 1,

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provided that at least one of R^{2j} and R^{3j} is the substituted or unsubstituted aminocarbonyl group as defined above; or a salt thereof,
which comprises reacting a compound of the formula [I^s]:



wherein

R^1 and R^4 have the same meanings as defined above, and

R^{2k} corresponds to R^2 as defined above

R^{3m} corresponds to R^3 as defined above,

provided that at least one of R^{2k} and R^{3m} is a reactive ester which is $-CO-OR^6$ or $-CO-OR^{10}$, respectively; or a salt thereof, with a compound of the formula :

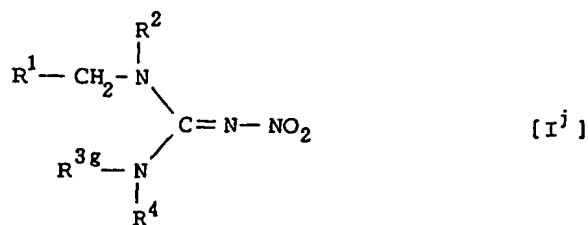


or



wherein each group has the same meaning as defined above.

8. The process according to claim 1 in which the compound is represented by the formula:



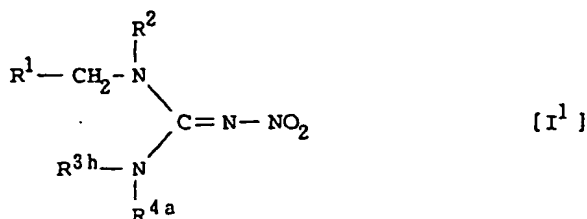
wherein

R^1 , R^2 and R^4 are as defined in claim 1

R^{3g} is hydrogen or a hydrocarbon group selected from C_1-C_{15} alkyl, C_3-C_{10} cycloalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkenyl, C_6-C_{10} aryl or C_7-C_{10} aralkyl, this group optionally having 1 to 5 substituents as defined in claim 1 for R^1 (except for one substituted with an oxo group at the binding site),

or a salt thereof.

9. The process according to claim 1 in which the compound is represented by the formula:



wherein

R¹ and R² are as defined in claim 1

R^{3h} is hydrogen, and R^{4a} is a C₁-C₄ alkyl group;

or a salt thereof.

10. The process according to claim 1, in which the heterocyclic group R¹ is a five- or six-membered nitrogen-containing heterocyclic group.

11. The process according to claim 1 in which the heterocyclic group R¹ is 2- or 3-thienyl, 2- or 3-furyl, 2- or 3-pyrrolyl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 5-thiazolyl, 3-, 4- or 5-pyrazolyl, 2-, 4- or 5-imidazolyl, 3-, 4- or 5-isoxazolyl, 3-, 4- or 5-isothiazolyl, 3- or 5-(1,2,4-oxadiazolyl), 1,3,4-oxadiazolyl, 3- or 5-(1,2,4-thiadiazolyl), 1,3,4-thiadiazolyl, 4- or 5-(1,2,3-thiadiazolyl), 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1H- or 2H-tetrazolyl, N-oxide of 2-, 3- or 4-pyridyl, 2-, 4- or 5-pyrimidinyl, N-oxide of 2-, 4- or 5-pyrimidinyl, 3- or 4-pyridazinyl, pyrazinyl, N-oxide of 3- or 4-pyridazinyl, benzofuryl, benzothiazolyl, benzoxazolyl, triazinyl, oxotriazinyl, tetrazolo[1,5-b]pyridazinyl, triazolo[4,5-b]pyridazinyl, oxoimidazolyl, dioxotriazinyl, pyrrolidinyl, piperidyl, pyranyl, thiopyranyl, 1,4-oxazinyl, morpholinyl, 1,4-thiazinyl, 1,3-thiazinyl, piperazinyl, benzoimidazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indolizynyl, quinolizynyl, 1,8-naphthyridinyl, purinyl, pteridinyl, dibenzofuran-yl, carbazolyl, acridinyl, phenanthridinyl, phenazinyl, phenothiazinyl, or phenoxazinyl.

12. The process according to claim 1, wherein R¹ is a five- or six-membered nitrogen containing heterocyclic group which is substituted with 1 to 5 substituents selected from C₁₋₁₅ alkyl; C₃₋₁₀ cycloalkyl; C₂₋₁₀ alkenyl; C₂₋₁₀ alkynyl; C₃₋₁₀ cycloalkenyl; C₆₋₁₀ aryl; C₇₋₁₀ aralkyl; nitro; hydroxyl; mercapto; oxo; thioxo; cyano; carbamoyl; carboxyl; C₁₋₄ alkoxycarbonyl; sulfo; halogens; C₁₋₄ alkoxy; C₆₋₁₀ aryloxy; C₁₋₄ alkylthio; C₆₋₁₀ arylthio; C₁₋₄ alkylsulfonyl; C₆₋₁₀ arylsulfonyl; C₁₋₄ alkylsulfonyl; C₆₋₁₀ arylsulfonyl; amino; C₂₋₆ acylamino; mono- or di-C₁₋₄ alkylamino; C₆₋₁₀ arylamino; C₂₋₄ acyl; C₆₋₁₀ arylcarbonyl; 2- or 3-thienyl; 2- or 3-furyl; 3-, 4- or 5-pyrazolyl; 2-, 4- or 5-thiazolyl; 3-, 4- or 5-isothiazolyl; 2-, 4- or 5-oxazolyl; 3-, 4- or 5-isoxazolyl; 2-, 4- or 5-imidazolyl; 1,2,3- or 1,2,4-triazolyl; 1H- or 2H-tetrazolyl; 2-, 3- or 4-pyridyl; 2-, 4- or 5-pyrimidinyl; 3- or 4-pyridazinyl; quinolyl; isoquinolyl; and indolyl.

13. The process according to claim 1 wherein R¹ is 2-, 3- or 4-pyridyl or 2-, 4- or 5-thiazolyl, which is substituted with 1 to 4 halogens.

14. The process according to claim 1 wherein R³ is a C₁₋₁₅ alkyl, C₃₋₁₀ cycloalkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, or C₃₋₁₀ cycloalkenyl group.

15. The process according to claim 1 wherein R³ is cyano, a group of the formula: -CO-R⁹ as defined in claim 1, a group of the formula: -CO-OR¹⁰ as defined in claim 1, or a group of the formula: -CO-NR¹¹R¹² as defined in claim 1.

16. The process according to claim 15, wherein R⁹ is a C₁₋₁₅ alkyl, C₃₋₁₀ cycloalkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, or C₃₋₁₀ cycloalkenyl group.

17. The process according to claim 15, wherein R³ is a group of the formula: -CO-OR¹⁰ as defined in claim 1.

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18. The process according to claim 17, wherein R¹⁰ is C₁₋₁₅ alkyl, C₃₋₁₀ cycloalkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₁₀ cycloalkenyl, C₆₋₁₀ aryl or C₇₋₁₀ aralkyl group.

19. The process according to claim 1 wherein R³ is hydrogen; C₁₋₄ alkyl; C₁₋₇ acyl; C₇₋₁₂ arylcarbonyl; C₂₋₇ alkoxy carbonyl; C₇₋₁₂ aryloxy carbonyl; C₈₋₁₃ aralkyloxy carbonyl; C₂₋₇ alkylaminocarbonyl; di-C₁₋₄ alkylaminocarbonyl; saturated cyclic aminocarbonyl; or C₁₋₄ alkylsulfonyl.

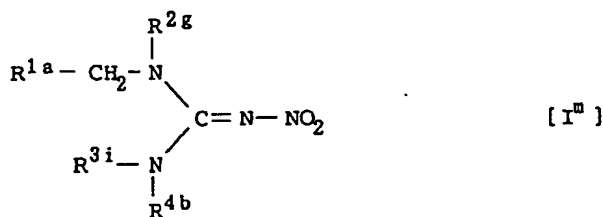
20. The process according to claim 1 wherein R² is cyano,
a group of the formula: -CO-OR⁶ as defined in claim 1
or
a group of the formula: -CO-NR⁷R⁸ as defined in claim 1.

21. The process according to claim 20, wherein R⁸ is C₁₋₁₅ alkyl, C₃₋₁₀ cycloalkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₁₀ cycloalkenyl, C₆₋₁₀ aryl or C₇₋₁₀ aralkyl group.

22. The process according to claim 1 wherein R² is C₂₋₇ alkoxy carbonyl.

23. The process according to claim 1 wherein R⁴ is C₁₋₄ alkyl.

24. The process according to claim 1 in which the compound is represented by the formula:



wherein

R^{1a} is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,

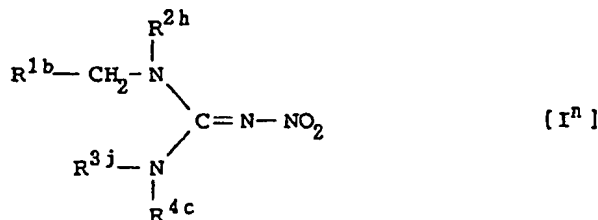
R^{2g} is C₂₋₇ alkoxy carbonyl, C₇₋₁₂ aryloxy carbonyl, C₈₋₁₃ aralkyloxy carbonyl, C₂₋₇ alkylaminocarbonyl, di-C₁₋₄ alkylaminocarbonyl, alicyclic aminocarbonyl, or C₁₋₄ alkylsulfonyl,

R³ⁱ is hydrogen, C₁₋₄ alkyl, C₇₋₁₂ arylcarbonyl, C₇₋₁₂ aryloxy carbonyl, C₈₋₁₃ aralkyloxy carbonyl, C₂₋₇ alkylaminocarbonyl, di-C₁₋₄ alkylaminocarbonyl, alicyclic aminocarbonyl, or C₁₋₄ alkylsulfonyl, and

R^{4b} is hydrogen or C₁₋₄ alkyl; or a salt thereof.

25. The process according to claim 24, wherein R^{1a} is halogenopyridyl or halogenothiazolyl.

26. The process according to claim 1 in which the compound is represented by the formula:

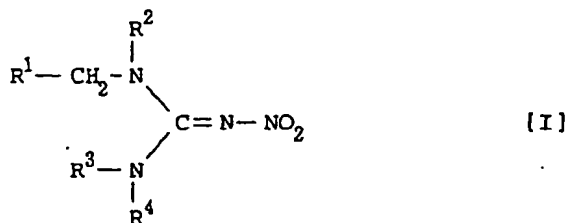


wherein R^{1b} is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl; R^{2h} is C₂₋₇ alkoxy carbonyl; R^{3j} is hydrogen; and R^{4c} is methyl or ethyl; or a salt thereof.

27. The process according to claim 24 in which the compound or a salt thereof, is selected from

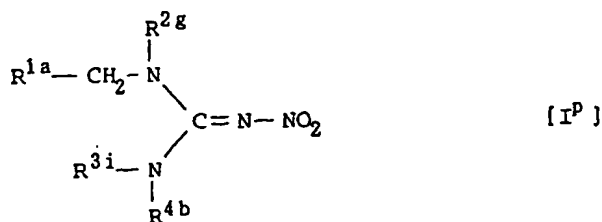
- 1-(2-chloro-5-thiazolylmethyl)-1-cyano-3,3-dimethyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-1,3-diphenoxycarbonyl-3-methyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-1-dimethylaminocarbonyl-3-methyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-morpholinocarbonyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-1-methanesulphonyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-1-methoxycarbonyl-3-methyl-2-nitroguanidine,
 1-(t-butoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-1-ethoxycarbonyl-3-methyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-1-n-propoxycarbonyl-3-methyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-1-isopropoxycarbonyl-3-methyl-2-nitroguanidine,
 1-(n-butoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,
 1-(isobutoxycarbonyl)-1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-1-(1-chloroethoxycarbonyl)-3-methyl-2-nitroguanidine,
 1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-pyrrolidinocarbonyl-2-nitroguanidine, and
 1-(2-chloro-5-thiazolylmethyl)-3-methyl-1-benzylloxycarbonyl-2-nitroguanidine.

28. A pesticidal composition comprising an effective amount of the substituted nitroguanidine compound of the formula [I]:



wherein R¹, R², R³ and R⁴ are as defined in claim 1, or a salt thereof, in admixture with an acceptable carrier, vehicle, diluent or excipient.

29. A pesticidal composition comprising an effective amount of a substituted nitroguanidine compound of the formula:



wherein

- R^{1a} is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,
 R^{2g} is C₇₋₁₂ aryloxythiocarbonyl,
 R³ⁱ is hydrogen, C₁₋₄ alkyl, C₇₋₁₂ arylcarbonyl, C₇₋₁₂ aryloxy carbonyl, C₈₋₁₃ aralkyloxy carbonyl, C₂₋₇ alkylaminocarbonyl, di-C₁₋₄ alkylaminocarbonyl, alicyclic aminocarbonyl, or C₁₋₄ alkylsulfonyl, and
 R^{4b} is hydrogen or C₁₋₄ alkyl; or a salt thereof,

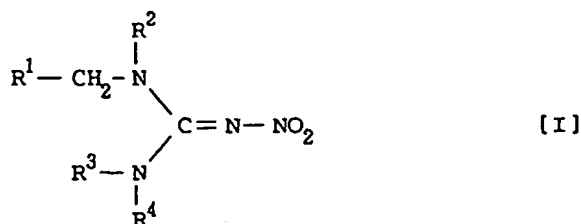
in admixture with an acceptable carrier, vehicle, diluent or excipient.

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30. A pesticidal composition comprising an effective amount of the substituted nitroguanidine compound or a salt thereof made according to Claim 27 or a salt thereof in admixture with an acceptable carrier, vehicle, diluent or excipient.

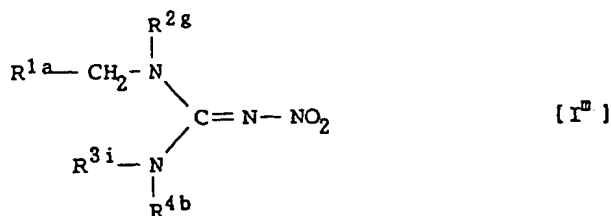
31. A pesticidal composition comprising an effective amount of 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine- or a salt thereof in admixture with an acceptable carrier, vehicle, diluent or excipient.

32. A use of a substituted nitroguanidine compound of the formula [I]:



wherein R¹, R², R³ and R⁴ are as defined in claim 1 or a salt thereof, for the manufacture of a pesticidal composition.

33. A use of a substituted nitroguanidine of the formula:



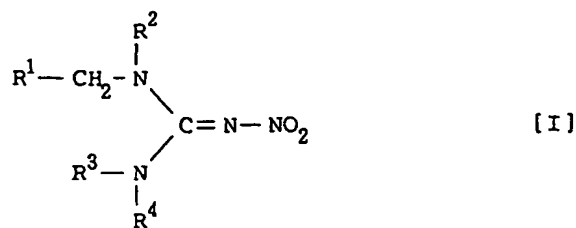
wherein

R^{1a} is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl, R^{2g} is C₇₋₁₂ aryloxythiocarbonyl, R³ⁱ is hydrogen, C₁₋₄ alkyl, C₇₋₁₂ arylcarbonyl, C₇₋₁₂ aryloxy carbonyl, C₈₋₁₃ aralkyloxy carbonyl, C₂₋₇ alkylaminocarbonyl, di-C₁₋₄ alkylaminocarbonyl, alicyclic aminocarbonyl, or C₁₋₄ alkylsulfonyl, and R^{4b} is hydrogen or C₁₋₄ alkyl; or a salt thereof for the manufacture of a pesticidal composition.

34. A use of a compound according to claim 33, wherein R^{1a} is halogenopyridyl or halogenothiazolyl.

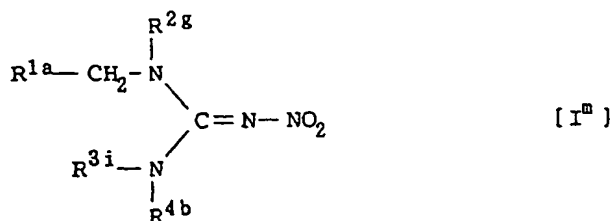
35. A use of a compound according to claim 33 or a salt thereof which is 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine.

36. A method for controlling a pest which comprises applying an effective amount of a substituted nitroguanidine compound of the formula [I]:



wherein R¹, R², R³ and R⁴ are as defined in claim 1
or a salt thereof, to prevent said pest.

37. A method for controlling a pest which comprises applying an effective amount of a substituted nitroguanidine compound of the formula:



wherein

R^{1a} is pyridyl, halogenopyridyl, thiazolyl, or halogenothiazolyl,

R^{2g} is C₇₋₁₂ aryloxythiocarbonyl,

R³ⁱ is hydrogen, C₁₋₄ alkyl, C₇₋₁₂ arylcarbonyl, C₇₋₁₂ aryloxy carbonyl, C₈₋₁₃ aralkyloxy carbonyl, C₂₋₇ alkylaminocarbonyl, di-C₁₋₄ alkylaminocarbonyl, alicyclic aminocarbonyl, or C₁₋₄ alkylsulfonyl, and

R^{4b} is hydrogen or C₁₋₄ alkyl; or a salt thereof to prevent said pest.

38. A method according to claim 37, wherein R^{1a} is halogenopyridyl or halogenothiazolyl.

39. A method according to claim 37 wherein the compound is 1-(2-chloro-5-thiazolylmethyl)-3,3-dimethyl-2-nitro-1-phenoxythiocarbonylguanidine or a salt thereof.

Patentansprüche

Patentansprüche für folgende Vertragsstaaten : AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE

1. Verbindung der Formel